e may be due to a system
problem. Please contact your local STN Help Desk if you need
assistance.

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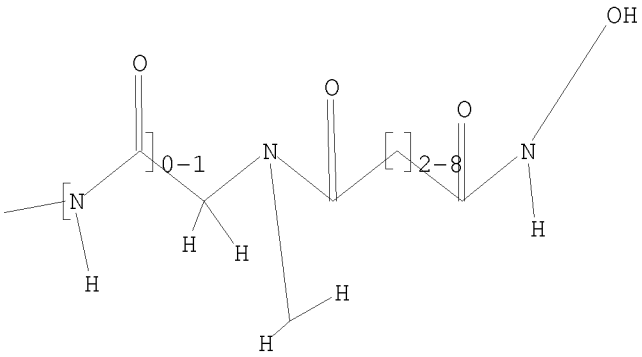
L8 STRUCTURE UPLOADED

=> d
L8 HAS NO ANSWERS
L8 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l8 sss
SAMPLE SEARCH INITIATED 14:35:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2780 TO ITERATE

71.9% PROCESSED 2000 ITERATIONS 3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 52438 TO 58762
PROJECTED ANSWERS: 3 TO 205

L9 3 SEA SSS SAM L8

=> s l8 sss full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 14:35:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 55174 TO ITERATE

100.0% PROCESSED 55174 ITERATIONS 82 ANSWERS
SEARCH TIME: 00.00.02

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L10          82 SEA SSS FUL L8

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   194.97     410.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -2.55

FILE 'CAPLUS' ENTERED AT 14:36:00 ON 08 SEP 2010
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FILE COVERS 1907 - 8 Sep 2010  VOL 153 ISS 11
FILE LAST UPDATED: 7 Sep 2010  (20100907/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Jun 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Jun 2010

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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L11         18 L10

=> s l11 and Py2004
             0 PY2004
L12          0 L11 AND PY2004

=> s l11 and Py<2004
      24051605 PY<2004
L13          8 L11 AND PY<2004

=> s l11 and Py<2003
      22999285 PY<2003
L14          7 L11 AND PY<2003
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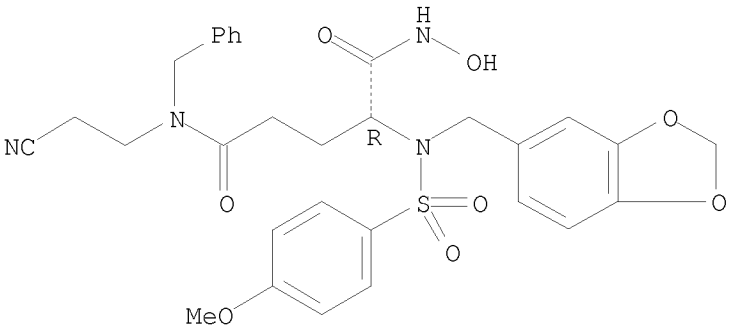
=> d l13 1-8 ibib abs hitstr
THE ESTIMATED COST FOR THIS REQUEST IS 46.48 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L13 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:485895 CAPLUS
DOCUMENT NUMBER: 139:223711
TITLE: Novel inhibitors of procollagen C-Proteinase. Part 2: glutamic acid hydroxamates
AUTHOR(S): Robinson, L. A.; Wilson, D. M.; Delaet, N. G. J.; Bradley, E. K.; Dankwardt, S. M.; Campbell, J. A.; Martin, R. L.; Van Wart, H. E.; Walker, K. A. M.; Sullivan, R. W.
CORPORATE SOURCE: CombiChem Inc., San Diego, CA, 92121, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(14), 2381-2384
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:223711
AB Glutamic acid derived hydroxamates were identified as potent and selective inhibitors of procollagen C-proteinase, an essential enzyme for the processing of procollagens to fibrillar collagens. Such compds. have potential therapeutic application in the treatment of fibrosis.
IT 279255-52-6P 591766-04-0P 591766-06-2P
591766-07-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship of glutamic acid hydroxamates as novel inhibitors of procollagen C-Proteinase)
RN 279255-52-6 CAPLUS
CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N5-(2-cyanoethyl)-N1-hydroxy-N5-(phenylmethyl)-, (2R)- (CA INDEX NAME)

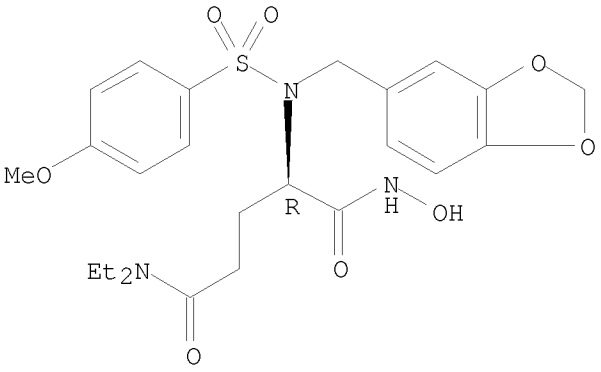
Absolute stereochemistry.



RN 591766-04-0 CAPLUS
CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-

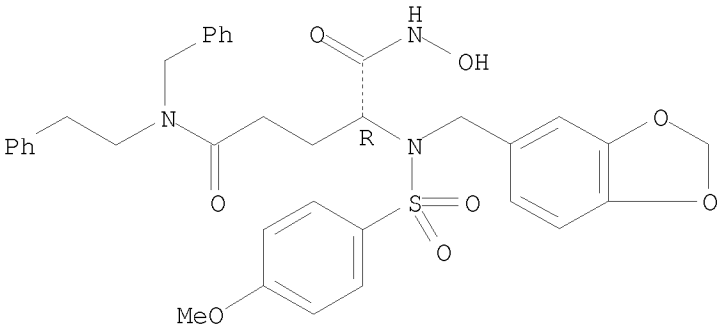
methoxyphenyl)sulfonyl]amino]-N5,N5-diethyl-N1-hydroxy-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



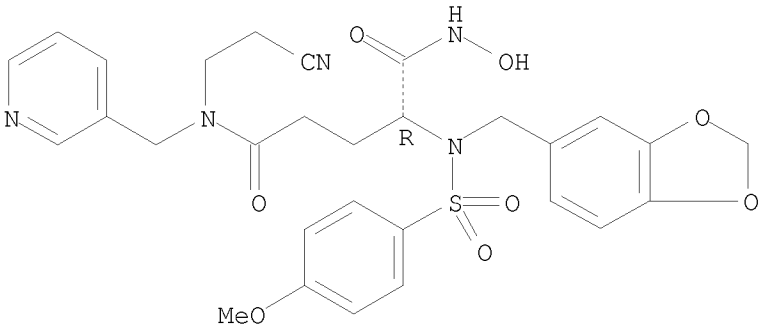
RN 591766-06-2 CAPLUS
CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N1-hydroxy-N5-(2-phenylethyl)-N5-(phenylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 591766-07-3 CAPLUS
CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N5-(2-cyanoethyl)-N1-hydroxy-N5-(3-pyridinylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



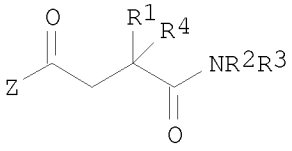
OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:275960 CAPLUS
DOCUMENT NUMBER: 136:310184
TITLE: Preparation of hydroxamic acid peptide deformylase inhibitors as antibacterial agents
INVENTOR(S): Chong, Lee; Frechette, Roger; Scott, Carole; Tester, Richard; Smith, Whitney; Chiba, Katsumi; Sakamoto, Masatoshi; Gluchowski, Charles
PATENT ASSIGNEE(S): Questcor Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002028829	A2	20020411	WO 2001-US29926		20010924 <--
WO 2002028829	A3	20031224			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2002030385	A	20020415	AU 2002-30385		20010924 <--

PRIORITY APPLN. INFO.:			
	US 2000-234967P	P	20000925
	US 2001-761850	A	20010118
	WO 2001-US29926	W	20010924

OTHER SOURCE(S): MARPAT 136:310184
GI



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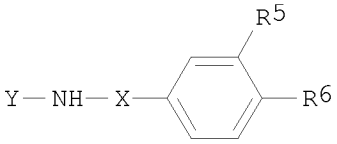

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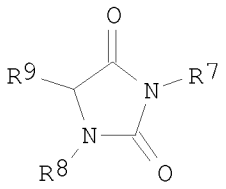

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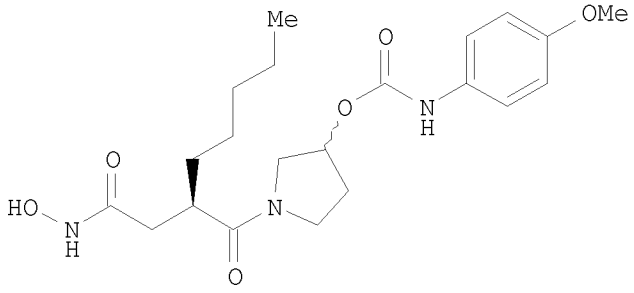


IV

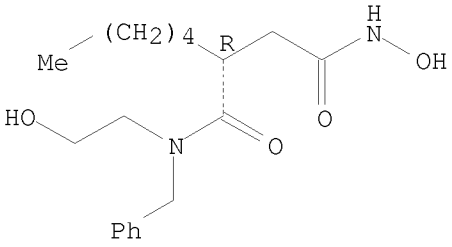
AB Hydroxamic acid derivs. of peptides and peptidomimetics of formulas I, II, and III [wherein Z = NHOH or ORa; Ra = alkyl or a biocleavable moiety; X = CO or SO2; Y = (un)substituted heteroalkyl or heterocyclyl; R1 = (un)substituted (cyclo)alkyl, aryl, heterocyclyl, or heteroalkyl; R2R3 = 4-7 membered (un)substituted heterocycle; R2R4 = ring formed through a CH2CH2 linkage; or R2 = Me; or R3 = H or (un)substituted (hetero)alkyl, aryl, or heterocyclyl; or R4 = H or (un)substituted (hetero)alkyl, aryl, or heterocyclyl; R5 and R6 = independently H, NO2, NH2, NHCOH, NHCOCH3, NHSO2CH3, or (un)substituted CH2NH-(hetero)alkyl or CH2NH-heterocyclyl; one of R7 or R8 = CHR10CONHOH; one of R7 or R8 = (un)substituted (hetero)alkyl, (alkyl)heterocyclyl, or alkylaryl; R9 and R10 = independently H or (un)substituted (hetero)alkyl, (alkyl)heterocyclyl, or alkylaryl] were prepared as peptide deformylase (Fe-PDF) inhibitors for treating various bacterial infections. For example, 3-pyrrolidinol was added to tert-Bu (R)-(2-pentyl)succinate mono(N-hydroxysuccinimide) ester to give the amide (68%). Treatment with 20% TFA/DCM, followed by MeOH, benzene, and TMSN2 in hexanes, to afford the Me ester (90%). The pyrrolidinol was coupled with 4-methoxyphenylisocyanate and the ester converted to the hydroxamic acid (IV) using NH2OH•HCl. The latter inhibited E. coli Fe-PDF with IC50 of 9 nM and showed selectivity for Fe-PDF vs. thermolysin with a selectivity index of 30,000. Thus, I, II, and III are useful as antibiotics against a broad range of infectious disease in animals and humans.

IT 409129-80-2P 409129-81-3P 409129-82-4P

409129-83-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide deformylase inhibitor; preparation of hydroxamic acid derivs. of peptides and peptidomimetics as peptide deformylase inhibitors for treatment of infectious diseases)

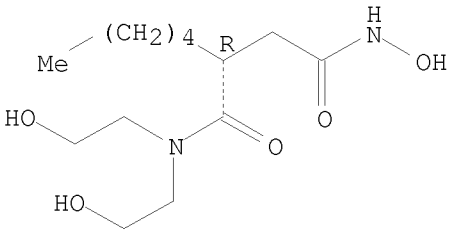
RN 409129-80-2 CAPLUS
CN Butanediamide, N4-hydroxy-N1-(2-hydroxyethyl)-2-pentyl-N1-(phenylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



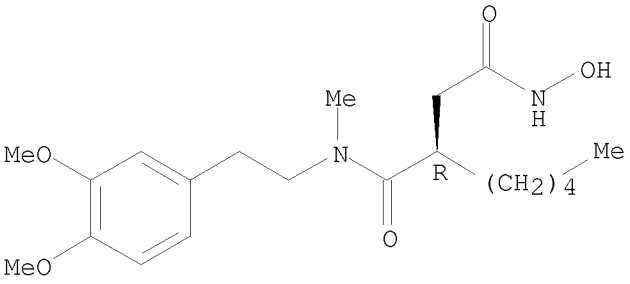
RN 409129-81-3 CAPLUS
CN Butanediamide, N4-hydroxy-N1,N1-bis(2-hydroxyethyl)-2-pentyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



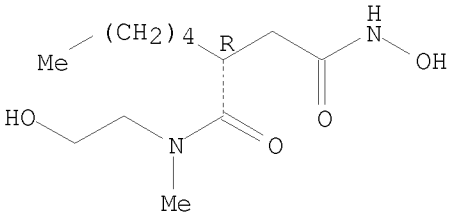
RN 409129-82-4 CAPLUS
CN Butanediamide, N1-[2-(3,4-dimethoxyphenyl)ethyl]-N4-hydroxy-N1-methyl-2-pentyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 409129-83-5 CAPLUS
CN Butanediamide, N4-hydroxy-N1-(2-hydroxyethyl)-N1-methyl-2-pentyl-, (2R)-
(CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:453016 CAPLUS
DOCUMENT NUMBER: 135:61071
TITLE: Preparation of hydroxamic acid derivatives as matrix metalloproteinase (MMP) inhibitors
INVENTOR(S): Owen, David Alan; Baxter, Andrew Douglas; Watson, Robert John; Montana, John Gary
PATENT ASSIGNEE(S): Darwin Discovery Ltd., UK
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044188	A1	20010621	WO 2000-GB4861	20001218 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001022017	A	20010625	AU 2001-22017	20001218 <--
EP 1237867	A1	20020911	EP 2000-985609	20001218 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6462042	B1	20021008	US 2001-806266	20010328 <--

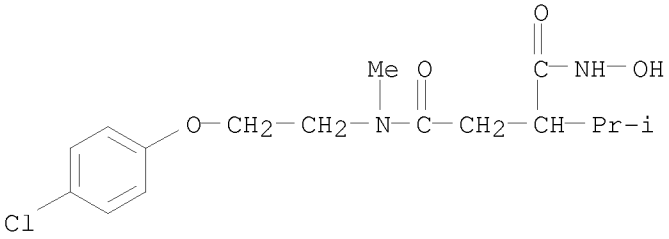
PRIORITY APPLN. INFO.: GB 1999-29979 A 19991217
WO 2000-GB4861 W 20001218
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:61071

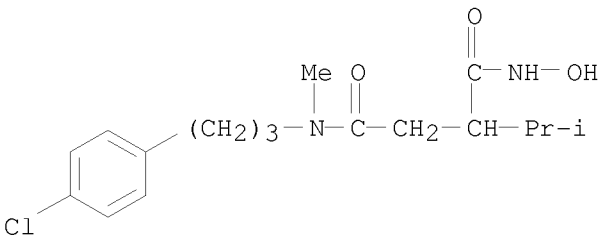
AB The title compds. B1NB2COCH2CR1R2CONHOH [I; R1 = alkyl, alkenyl, aryl, etc.; R2 = H, alkyl; CR1R2 = (un)substituted cycloalkyl, heterocycloalkyl; B1, B2 = H, alkyl, aryl, etc.] having therapeutic utility, were prepared E.g., a multi-step synthesis of (2S)-I [R1 = iso-Pr; R2 = H; B1 = Me; B2 = 4-(morpholin-4-yl)phenyl] was given. Compds. I are effective in treating inflammation at 0.01-50 mg/kg/day.

IT 345633-03-6P 345633-08-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acid derivs. as matrix metalloproteinase (MMP) inhibitors)

RN 345633-03-6 CAPLUS
CN Butanediamide, N4-[2-(4-chlorophenoxy)ethyl]-N1-hydroxy-N4-methyl-2-(1-methylethyl)- (CA INDEX NAME)



RN 345633-08-1 CAPLUS
CN Butanediamide, N4-[3-(4-chlorophenyl)propyl]-N1-hydroxy-N4-methyl-2-(1-methylethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:441768 CAPLUS
DOCUMENT NUMBER: 133:74324
TITLE: Preparation of amino acid sulfonamide hydroxamates as inhibitors of procollagen C-proteinase.
INVENTOR(S): Billedeau, Roland Joseph; Broka, Chris Allen; Campbell, Jeffrey Allen; Chen, Jian Jeffrey; Dankwardt, Sharon Marie; Delaet, Nancy; Robinson,

Leslie Ann; Walker, Keith Adrian Murray
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037436	A1	20000629	WO 1999-EP9920	19991214 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355902	A1	20000629	CA 1999-2355902	19991214 <--
BR 9916504	A	20010911	BR 1999-16504	19991214 <--
EP 1149072	A1	20011031	EP 1999-963530	19991214 <--
EP 1149072	B1	20040630		
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TR 2001001868	T2	20011121	TR 2001-1868	19991214 <--
HU 2001004658	A2	20020629	HU 2001-4658	19991214 <--
HU 2001004658	A3	20051228		
JP 2002533322	T	20021008	JP 2000-589508	19991214 <--
AU 769319	B2	20040122	AU 2000-19792	19991214
NZ 512292	A	20040326	NZ 1999-512292	19991214
AT 270271	T	20040715	AT 1999-963530	19991214
RU 2232751	C2	20040720	RU 2001-119461	19991214
US 6492394	B1	20021210	US 1999-469660	19991222 <--
HR 2001000443	A2	20020630	HR 2001-443	20010614 <--
ZA 2001005014	A	20020919	ZA 2001-5014	20010619 <--
MX 2001006328	A	20010910	MX 2001-6328	20010620 <--
NO 2001003100	A	20010821	NO 2001-3100	20010621 <--
US 20030199520	A1	20031023	US 2002-267292	20021009 <--
US 6844366	B2	20050118		
US 20030216405	A1	20031120	US 2002-267727	20021009 <--
US 6787559	B2	20040907		

PRIORITY APPLN. INFO.:

US 1998-113311P	P	19981222
US 1999-147053P	P	19990803
US 1999-164138P	P	19991108
WO 1999-EP9920	W	19991214
US 1999-469660	A3	19991222

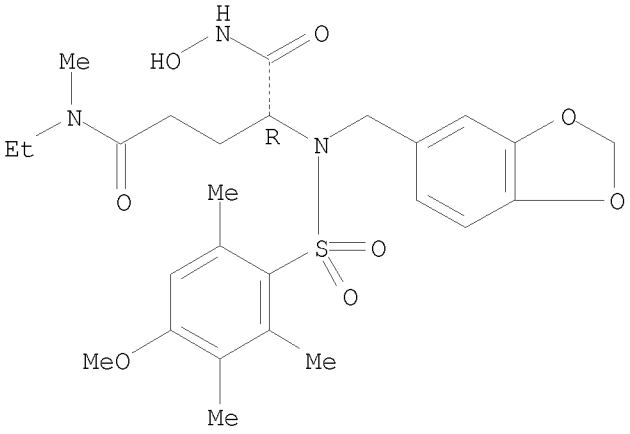
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 133:74324
AB HOHNCOCHR1NRSO2Ar2 [R1 = alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, aralkyl, aralkenyl, heteroaryl, heteroaralkyl, aminl, aryl, aralkyl, etc.; R = CHR2Ar1, CHR2CH:CHAr1; Ar2 = specified (substituted) Ph, naphthyl; R2 = H, alkyl; with provisos], were prepared Thus, N-hydroxy-2(R)-[(3,4-methylenedioxybenzyl)(4-methoxy-2,3,6-trimethylbenzenesulfonyl)amino]-3-methylbutyramide was prepared by solution

phase synthesis from BOC-D-Val-OH. Title compds. inhibited procollagen C-proteinase with IC50 0.01-2 μM.

IT 279255-20-8P 279255-52-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid sulfonamide hydroxamates as inhibitors of procollagen C-proteinase)

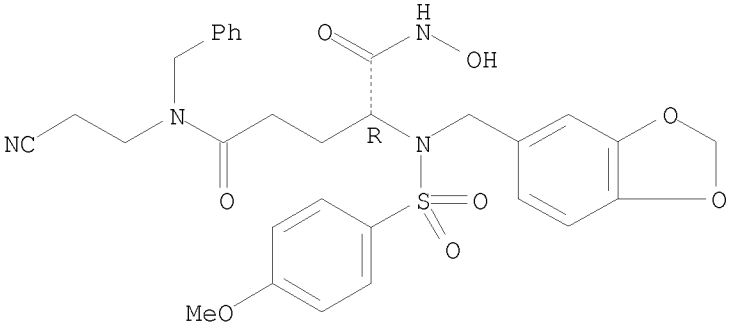
RN 279255-20-8 CAPLUS
CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]-N5-ethyl-N1-hydroxy-N5-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 279255-52-6 CAPLUS
CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N5-(2-cyanoethyl)-N1-hydroxy-N5-(phenylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



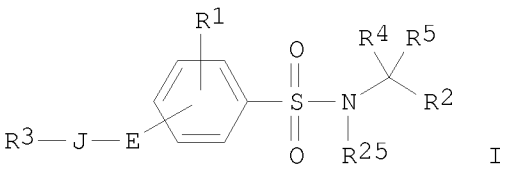
OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:498627 CAPLUS
DOCUMENT NUMBER: 129:175972
ORIGINAL REFERENCE NO.: 129:35769a,35772a
TITLE: Preparation of phenylsulfonamides as matrix metalloproteinase inhibitors for treatment of diseases
INVENTOR(S): Takahashi, Kanji; Sugiura, Tsuneyuki
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10204054	A	19980804	JP 1997-20880	19970121 <--

PRIORITY APPLN. INFO.: JP 1997-20880 19970121
OTHER SOURCE(S): MARPAT 129:175972
GI



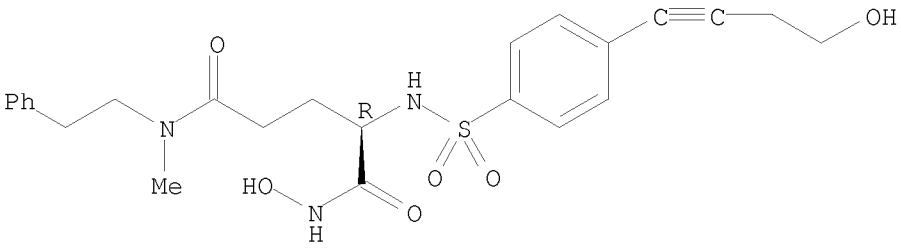
I

AB Phenylsulfonamides I [R1 = H, C1-4 alkyl; R2 = CO2R6, CONHOR7; R6, R7 = H, (un)substituted alkyl, Ph; R3 = OR11, (un)substituted amino, CO2R14, etc.; R11 = H, (un)substituted C1-4 alkyl, C2-4 acyl, etc; R14 = H, (un)substituted C1-4 alkyl, Ph; R4, R5 = H, (un)substituted C1-8 alky, (un)substituted amino, (hetero)cyclyl, etc.; E = CH:CH, C.tplbond.C; J = bond, C1-8 alkylene; R25 = H, (Ph-substituted) C1-4 alkyl, (Ph-substituted) alkoxycarbonyl] or their nontoxic salts are prepared The phenylsulfonamides are useful for treatment of rheumatoid arthritis, bone diseases, arteriosclerosis, tumor, autoimmune diseases, etc., caused by excess secretion or elevated activity of matrix metalloproteinase. Hydrolysis of N-[4-(4-hydroxy-1-butynyl)phenylsulfonyl]-D-tryptophan Me ester with aqueous NaOH gave 29% N-[4-(4-hydroxy-1-butynyl)phenylsulfonyl]-D-tryptophan, which inhibited gelatinase A activity at IC50 of 0.0079 μM.

IT 211383-80-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylsulfonamides as matrix metalloproteinase inhibitors for treatment of diseases)

RN 211383-80-1 CAPLUS
CN Pentanediamide, N1-hydroxy-2-[[[4-(4-hydroxy-1-butyn-1-yl)phenyl]sulfonyl]amino]-N5-methyl-N5-(2-phenylethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

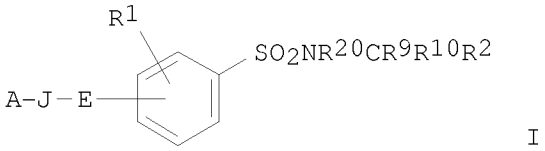


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

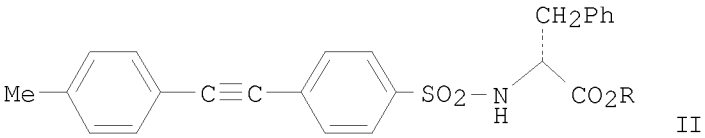
L13 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:805715 CAPLUS
DOCUMENT NUMBER: 128:61793
ORIGINAL REFERENCE NO.: 128:12110h,12111a
TITLE: Preparation of N-(phenylsulfonyl)amino acid derivatives as matrix metalloproteinase inhibitors
INVENTOR(S): Takahashi, Kanji; Sugiura, Tsuneyuki
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745402	A1	19971204	WO 1997-JP1735	19970523 <--
W: AU, CA, CN, HU, KR, MX, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9727920	A	19980105	AU 1997-27920	19970523 <--
JP 10265452	A	19981006	JP 1997-148448	19970523 <--
EP 915086	A1	19990512	EP 1997-922148	19970523 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1996-151864 A 19960524
JP 1997-20879 A 19970121
WO 1997-JP1735 W 19970523
OTHER SOURCE(S): MARPAT 128:61793
GI



I



II

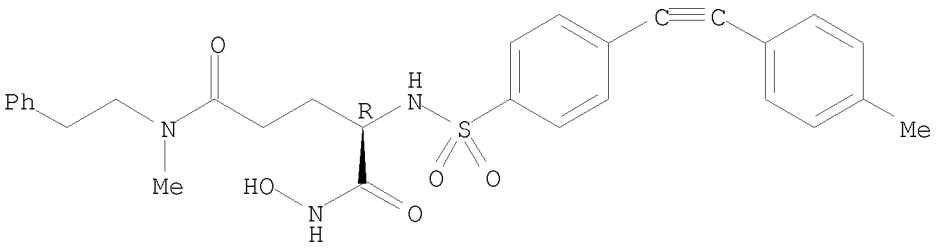
AB Phenylsulfonylamide derivs. represented by general formula (I; R1 = hydrogen or alkyl; R2 = CO2R3 or CONHOR4; wherein R3 = H, C1-8 alkyl, Ph, substituted C1-4 alkyl; R4 = H, C1-8 alkyl, Ph, phenyl-C1-4 alkyl; E = CH:CH, C.tplbond.C; A = hydrogen, alkyl, (un)substituted carbocycle or heterocycle; J = single bond or alkylene; R9, R10 = each hydrogen, (substituted) alkyl, COR11, carbocycle, heterocycle, etc.; R11 = OH, C1-8 alkyl, C1-8 alkoxy, PhO, phenyl-C1-4 alkyl, (un)substituted NH2; R20 = hydrogen, (substituted) C1-4 alkyl, C1-8 alkoxycarbonyl, phenyl-C1-4 alkoxycarbonyl, substituted C1-8 alkyl; or NR20CR9 = 5- to 7-membered heterocyclic ring containing 1 N atom) and salts thereof are prepared Also claimed are processes for producing the same; a matrix metalloproteinase inhibitor containing the same; and medicines containing the same and serving as preventives and/or remedies for rheumatism, osteoarthritis, pathol. bone resorption, osteoporosis, periodontosis, interstitial nephritis, arteriosclerosis, pulmonary emphysema, hepatocirrhosis, corneal injury, diseases due to cancer cell metastasis, infiltration and proliferation, autoimmune diseases (such as Crohn's disease and Sjogren's disease), diseases due to leukocyte emigration or infiltration, and neovascularization. Thus, 4-bromobenzenesulfonyl chloride was added to a solution of tert-Bu D-phenylalaninate in pyridine under ice-cooling and the resulting mixture was stirred at room temperature for 1 h to give tert-Bu N-(4-bromophenylsulfonyl)-D-phenylalaninate. A mixture of the latter compound, 10% Pd-C, Ph3P, CuI, MeCN, and Et3N was refluxed for 3 h to give tert-Bu D-phenylalaninate derivative (II; R = tert-butyl) which was stirred at room temperature for 1 h to give II (R = H). A tablet and an ampule formulation
containing II (R = H) were prepared

IT 200294-53-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(phenylsulfonyl)amino acid derivs. as matrix metalloproteinase inhibitors for disease treatment)

RN 200294-53-7 CAPLUS

CN Pentanediamide, N1-hydroxy-N5-methyl-2-[[[4-[2-(4-methylphenyl)ethynyl]phenyl]sulfonyl]amino]-N5-(2-phenylethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



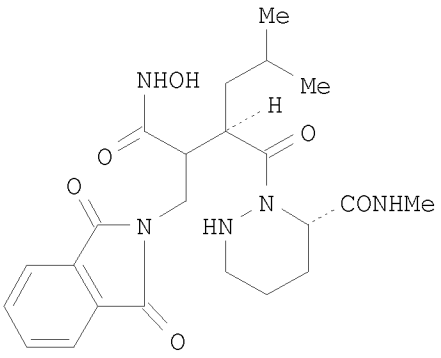
OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1994:700765 CAPLUS
DOCUMENT NUMBER: 121:300765
ORIGINAL REFERENCE NO.: 121:55057a,55060a
TITLE: Preparation of oxoheterocyclyl-substituted hydroxamic acid derivatives as collagenase inhibitors
INVENTOR(S): Broadhurst, Michael John; Brown, Paul Anthony; Johnson, William Henry; Lawton, Geoffrey
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 574758	A1	19931222	EP 1993-108628	19930528 <--
EP 574758	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5318964	A	19940607	US 1993-66832	19930524 <--
AU 9339816	A	19931216	AU 1993-39816	19930526 <--
AU 659555	B2	19950518		
AT 170840	T	19980915	AT 1993-108628	19930528 <--
ES 2121896	T3	19981216	ES 1993-108628	19930528 <--
ZA 9303957	A	19931213	ZA 1993-3957	19930604 <--
RO 112613	B3	19971128	RO 1993-777	19930604 <--
CZ 283373	B6	19980415	CZ 1993-1081	19930604 <--
IL 105921	A	19980104	IL 1993-105921	19930607 <--
CA 2098168	A1	19931212	CA 1993-2098168	19930610 <--
NO 9302117	A	19931213	NO 1993-2117	19930610 <--
CN 1083062	A	19940302	CN 1993-107239	19930610 <--
CN 1035616	C	19970813		
JP 06065196	A	19940308	JP 1993-165228	19930610 <--
JP 07076210	B	19950816		
FI 109535	B1	20020830	FI 1993-2692	19930611 <--
US 5447929	A	19950905	US 1994-214895	19940317 <--

PRIORITY APPLN. INFO.: GB 1992-12421 A 19920611
GB 1993-5720 A 19930319

US 1993-66832 A3 19930524
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 121:300765
GI

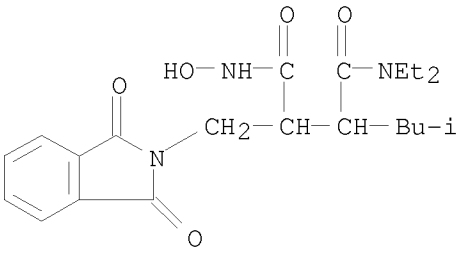


I

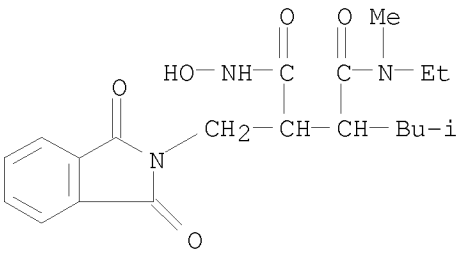
AB R1(CH2)nCH(CONHOH)CH(CONR2R3)CHR4CR5R6CH2R7 (R1 = N-attached oxoheterocyclyl; R2 = alkyl; R3 = alkyl or aryl; NR2R3 = heterocyclyl; R4-R7 = H or Me; n = 1-4) were prepared Thus, (2R)-[(1R,S)-tert-butoxycarbonyl-2-phthalimidoethyl]-4-methylvaleric acid was amidated by 1-benzyloxycarbamoyl-(3S)-hexahydropyridazinecarboxylic acid and the product converted in 3 steps to title compound (R,S)-I which had IC50 of 1.2 nM against collagenase in vitro.

IT 159135-28-1P 159135-30-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as collagenase inhibitor)

RN 159135-28-1 CAPLUS
CN Hexanamide, 1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N,N-diethyl-N'-hydroxy-5-methyl- (CA INDEX NAME)

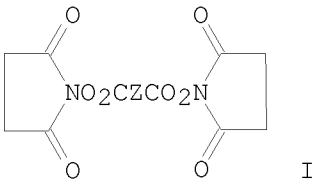


RN 159135-30-5 CAPLUS
CN Hexanamide, 1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N-ethyl-N'-hydroxy-N,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 30 THERE ARE 30 CAPLUS RECORDS THAT CITE THIS RECORD (38 CITINGS)

L13 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1984:531205 CAPLUS
DOCUMENT NUMBER: 101:131205
ORIGINAL REFERENCE NO.: 101:19977a,19980a
TITLE: Role of complex formation during polycondensation of activated N-hydroxysuccinimide esters with diamines
AUTHOR(S): Katsarava, R. D.; Kharadze, D. P.; Avalishvili, L. M.; Zaalishvili, M. M.
CORPORATE SOURCE: Inst. Fiziol., Tbilisi, USSR
SOURCE: Vysokomolekulyarnye Soedineniya, Seriya A (1984), 26(7), 1537-43
CODEN: VYSAAF; ISSN: 0507-5475
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I

AB During polycondensation of diamines with the title esters (I, Z = alkylene, arylene), the N-hydroxysuccinimide (II) [6066-82-6] byproduct formed complexes with the diamines. During polycondensation of weakly reactive I (Z = arylene) with aliphatic diamines at moderate temps., the complexation retarded polycondensation and prevented formation of high-mol.-weight polyamides. The polymerization rate increased sharply at higher temperature; however, side reactions also intensified. During reaction of highly reactive I (Z = alkylene), complexation had little influence on the polymerization

IT 91990-28-2P
RL: PREP (Preparation)
(formation and properties of, polycondensation of diamines with hydroxysuccinimide diesters in relation to)

RN 91990-28-2 CAPLUS
CN Butanediamide, N1,N1-diethyl-N4-hydroxy- (CA INDEX NAME)